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NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI result SDI PACKAGE for monthly delivery of multifile SDI results Mar 20 NEWS 27 EVENTLINE will be removed from STN NEWS 28 Mar 24 PATDPAFULL now available on STN Additional information for trade-named substances without NEWS 29 Mar 24 structures available in REGISTRY NEWS 30 Apr 11 Display formats in DGENE enhanced NEWS 31 Apr 14 MEDLINE Reload NEWS 32 Apr 17 Polymer searching in REGISTRY enhanced NEWS 33 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS NEWS 34 Apr 21 New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX NEWS 35 Apr 28 RDISCLOSURE now available on STN NEWS 36 May 05 Pharmacokinetic information and systematic chemical names

Supporter information for ENCOMPPAT and ENCOMPLIT updated

MEDLINE file segment of TOXCENTER reloaded

added to PHAR

NEWS 39 May 16 CHEMREACT will be removed from STN

NEWS 37

NEWS 38

May 15

May 15

NEWS 40 May 19 Simultaneous left and right truncation added to WSCA

RAPRA enhanced with new search field, simultaneous left and NEWS 41 May 19 right truncation

Jun 02 Simultaneous left and right truncation added to CBNB NEWS 42

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 14:22:01 ON 02 JUN 2003

=> fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

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STRUCTURE FILE UPDATES: 1 JUN 2003 HIGHEST RN 523977-56-2 DICTIONARY FILE UPDATES: 1 JUN 2003 HIGHEST RN 523977-56-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

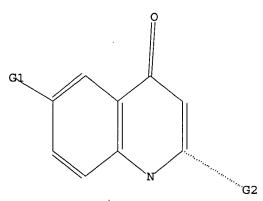
Uploading 09840503.str

T.1 STRUCTURE UPLOADED => d l1

L1 HAS NO ANSWERS

L1

STR



G1 CN, Hy

G2 C, Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 14:22:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 302448 TO ITERATE

100.0% PROCESSED 302448 ITERATIONS

SEARCH TIME: 00.00.06

234 SEA SSS FUL L1

=> fil caplus

L2

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 148.15 SESSION 148.36

234 ANSWERS

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FILE COVERS 1907 - 2 Jun 2003 VOL 138 ISS 23 FILE LAST UPDATED: 1 Jun 2003 (20030601/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 30 L2

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

149.61

1.25

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:24:49 ON 02 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 1 JUN 2003 HIGHEST RN 523977-56-2 DICTIONARY FILE UPDATES: 1 JUN 2003 HIGHEST RN 523977-56-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

uploading 09840503.str

L4 STRUCTURE UPLOADED

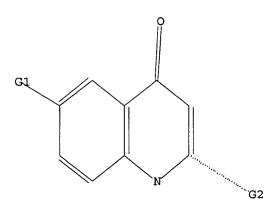
=> d 14

L4 HAS NO ANSWERS

L4 STR

1 ANSWERS

1 ANSWERS



Hy 1 G1 CN,[@1] G2 C,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 SAMPLE SEARCH INITIATED 14:25:13 FILE

SAMPLE SEARCH INITIATED 14:25:13 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 15057 TO ITERATE

6.6% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 293800 TO 308480 PROJECTED ANSWERS: 69 TO 533

L5 1 SEA SSS SAM L1

=> s 14 SAMPLE SEARCH INITIATED 14:25:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 15057 TO ITERATE

6.6% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 293800 TO 308480
PROJECTED ANSWERS: 69 TO 533

L6 1 SEA SSS SAM L4

=> d scan

L6 1 ANSWERS REGISTRY COPYRIGHT 2003 ACS

IN 4(1H)-Quinolinone, 7-methoxy-2-(4-methylphenyl)-6-(5-oxazolyl)- (9CI)

MF C20 H16 N2 O3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s 14 ful sub=12

FULL SUBSET SEARCH INITIATED 14:25:40 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 234 TO ITERATE

100.0% PROCESSED 234 ITERATIONS 200 ANSWERS

SEARCH TIME: 00.00.01

L7 200 SEA SUB=L2 SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 35.70 185.31

FILE 'CAPLUS' ENTERED AT 14:25:48 ON 02 JUN 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 2 Jun 2003 VOL 138 ISS 23 FILE LAST UPDATED: 1 Jun 2003 (20030601/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

L8 11 L7

=> d abs ibib hitstr 1-YOU HAVE REQUESTED DATA FROM 11 ANSWERS - CONTINUE? Y/(N):y



AB Quinolone derivs. I are described [wherein: X = O or S; R1 = aliph., cycloaliph., or cycloalkylalkyl; R2 = cyano or (un)substituted heteroarom.; R3 = H, alkyl, cyano, CO2H, CO2R6, or CONR7R8; R4 = Alk1-L1-Alk2-R9; R5 = H or alkyl; or NR4R5 = (un)substituted heterocycloaliph. ring optionally fused to (un)substituted monocyclic C 6-12 arom. group or (un) substituted monocyclic C1-9 heteroarom.; R6 = alkyl; R7, R8 = H, alkyl; Alk1 = bond or (un) substituted aliph. chain; L1 = bond, linker atom or group; Alk2 = bond or C1-3 alkylene chain; R9 = H, (un) substituted (hetero) cycloaliph. or (hetero) arom.; provided that R4 .noteq. H, and with 2 excluded compds.; including salts, solvates, hydrates, tautomers, isomers, or N-oxides]. The compds. are potent inhibitors of IMP dehydrogenase (IMPDH), and are of use as immunosuppressants, anti-cancer agents, anti-inflammatory agents, antipsoriatics, and anti-viral agents. Synthetic examples include 67 invention compds. (7 claimed) and 41 intermediates. For instance, condensation of the ketene dithioacetal 5-[bis(methylsulfanyl)methylene] -2,2-dimethyl-[1,3]dioxane-4,6-dione, first with 3-methoxy-4-(oxazol-5yl)aniline in refluxing EtOH (83%), then with indoline using HgCl2 (82%), gave the vicinal diamine intermediate II. This compd. was thermally cyclized by refluxing in di-Ph ether, to give 57% III, a specifically claimed compd. When assayed against IMPDH-catalyzed, NAD-dependent oxidn. of IMP in vitro, or in a human PMBC (peripheral blood mononuclear cell) proliferation assay, I had IC50 values of 5 .mu.M or below (no addnl. data).

ACCESSION NUMBER: 2003:334904 CAPLUS

DOCUMENT NUMBER: 138:353840

TITLE: 2-Aminoquinolone derivatives for use as IMPDH

inhibitors

INVENTOR(S): Haughan, Alan Findlay; Dyke, Hazel Joan; Buckley,

George Martin; Davies, Natasha; Hannah, Duncan Robert; Richard, Marianna Dilani; Sharpe, Andrew; Williams,

Sophie Caroline

PATENT ASSIGNEE(S): Celltech R & D Limited, UK SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.				KIND DATE				APPLICATION NO. DATE									
	WO	WO 2003035066			A1 20030501			WO 2002-GB4754 20021022										
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,
			RU,	ТJ,	TM													
		RW:	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
			PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
			NΕ,	SN,	TD,	TG												
PRIORITY APPLN. INFO.: GB 2001-25365 A 20011023																		
	GB 2002-5372 A 20020307																	
IT		519052-60-9P , 4-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-																
	dihydroquinolin-2-yl]piperazine-1-carboxylic acid tert-butyl ester																	
	519052-67-6P , 1-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-																	
	dihydroquinolin-2-yl]piperidine-4-carboxylic acid methyl ester																	
	519052-74-5P , 7-Methoxy-6-(oxazol-5-yl)-2-(2-oxopyrrolidin-1-yl)-																	
	1H-quinolin-4-one 519053-04-4P , 7-Methoxy-6-(oxazol-5-yl)-2-																	
	(piperazin-1-yl)-1H-quinolin-4-one dihydrochloride																	
	RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic																	
	<pre>preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)</pre>																	
	(Pr																	
						pre	pn. o	of ar	mino	quin	olone	e de:	rivs	. as	IMP	DH i	nhib:	itors)
RN		052-							_									
CN																	olyl)-4-oxo-
	<pre>1 1-Piperazinecarboxylic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo 2-quinolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)</pre>																	

RN 519052-67-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)

06/02/2003

●2 HCl

IT 519052-55-2P, 7-Methoxy-2-(morpholin-4-yl)-6-(oxazol-5-yl)-1Hquinolin-4-one 519052-65-4P, 2-(2,3-Dihydroindol-1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one 519052-77-8P, 7-Methoxy-2-(2-methylpyrrolidin-1-yl)-6-(oxazol-5-yl)-1H-quinolin-4-one 519052-78-9P, 1-(7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4dihydroquinolin-2-yl)piperidine-4-carboxylic acid amide 519052-79-0P, 7-Methoxy-6-(oxazol-5-yl)-2-[4-(pyrrolidin-1yl)piperidin-1-yl]-1H-quinolin-4-one 519052-81-4P, 2-(3,4-Dihydro-1H-isoquinolin-2-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519052-87-0P**, 2-(1,3-Dihydroisoindol-2-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one 519052-91-6P, 2-(6,7-Dimethoxy-3,4-dihydro-1H-isoquinolin-2-yl)-7-methoxy-6-(oxazol-5yl)-1H-quinolin-4-one **519052-92-7P**, 2-(5-Bromo-2,3-dihydroindol-1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519053-00-0P**, 7-Methoxy-6-(oxazol-5-yl)-2-(4-phenylpiperidin-1-yl)-1H-quinolin-4-one

519053-02-2P, 7-Methoxy-2-(2-methyl-2,3-dihydroindol-1-yl)-6-(oxazol-5-yl)-1H-quinolin-4-one 519053-05-5P, 2-(4-Acetylpiperazin-1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one **519053-07-7P**, 3-[4-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4dihydroquinolin-2-yl]piperazin-1-yl]propanoic acid methyl ester formate salt 519053-09-9P, 2-[4-(2,2-Dimethylpropyl)piperazin-1-yl]-7methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one formate 519053-11-3P, 7-Methoxy-6-(oxazol-5-yl)-2-[4-(oyridin-3-ylmethyl)piperazin-1-yl]-1Hquinolin-4-one formate 519053-12-4P, 2-(Azetidin-1-yl)-7-methoxy-6-(oxazol-5-yl)-1H-quinolin-4-one 519053-13-5P, 7-Methoxy-6-(oxazol-5-yl)-2-(piperidin-1-yl)-1H-quinolin-4-one 519053-14-6P, 7-Methoxy-2-[(S)-2-(methoxymethyl)pyrrolidin-1-yl]-6-(oxazol-5-yl)-1H-quinolin-4-one 519053-16-8P, 7'-Methoxy-6'-(oxazol-5-yl)-3,4-dihydro-2H,1'H-[1,2']-biquinolinyl-4'-one 519053-18-0P, 7-Methoxy-6-(oxazol-5-yl)-2-(pyrrolidin-1-yl)-1Hquinolin-4-one 519053-20-4P, 1-[7-Methoxy-6-(oxazol-5-yl)-4-oxo-1,4-dihydroquinolin-2-yl]piperidine-4-carboxylic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of aminoquinolone derivs. as IMPDH inhibitors) 519052-55-2 CAPLUS 4(1H)-Quinolinone, 7-methoxy-2-(4-morpholiny1)-6-(5-oxazoly1)- (9CI) INDEX NAME)

RN

CN

RN 519052-65-4 CAPLUS CN 4(1H)-Quinolinone, 2-(2,3-dihydro-1H-indol-1-yl)-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 519052-77-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-(2-methyl-1-pyrrolidinyl)-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 519052-78-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
0 \\
\parallel \\
C-NH_2
\end{array}$$

RN 519052-79-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[4-(1-pyrrolidinyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 519052-81-4 CAPLUS

CN 4(1H)-Quinolinone, 2-(3,4-dihydro-2(1H)-isoquinolinyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 519052-87-0 CAPLUS

CN 4 (1H) -Quinolinone, 2-(1,3-dihydro-2H-isoindol-2-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 519052-91-6 CAPLUS

CN 4(1H)-Quinolinone, 2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 519052-92-7 CAPLUS

CN 4(1H)-Quinolinone, 2-(5-bromo-2,3-dihydro-1H-indol-1-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 519053-00-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-phenyl-1-piperidinyl)-(9CI) (CA INDEX NAME)

RN 519053-02-2 CAPLUS

CN 4(1H)-Quinolinone, 2-(2,3-dihydro-2-methyl-1H-indol-1-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 519053-05-5 CAPLUS

CN Piperazine, 1-acetyl-4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

RN 519053-07-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 519053-06-6 CMF C21 H24 N4 O5

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{N} \end{array}$$

CM 2

CRN 64-18-6 CMF C H2 O2

О=== СН− ОН

RN 519053-09-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 519053-08-8 CMF C22 H28 N4 O3

CM 2

CRN 64-18-6 CMF C H2 O2

о == Сн− он

RN 519053-11-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 519053-10-2 CMF C23 H23 N5 O3

CM 2

CRN 64-18-6 CMF C H2 O2

о== сн- он

RN 519053-12-4 CAPLUS CN 4(1H)-Quinolinone, 2-(1-azetidinyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 519053-13-5 CAPLUS CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 519053-14-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 519053-16-8 CAPLUS CN [1(2H),2'-Biquinolin]-4'(1'H)-one, 3,4-dihydro-7'-methoxy-6'-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 519053-18-0 CAPLUS CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 519053-20-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 11 CAPLUS COPYRIGHT 2003 ACS L8 ĢΙ

AB Title compds. I [wherein X1 = CO, SO, or SO2; X2 = CR3 or N; X3 = NH, O, or S; X4 = CR4 or N; X5 = CR5 or N; X6 = CR6 or N] were prepd. were prepd. as inosine monophosphate dehydrogenase (IMPDH) enzyme inhibitors. For example, acetalization of 4-nitro-2-methoxytoluene with AcOH (51%), redn. to the aldehyde (91%), and cycloaddn. with (p-tolylsulfonyl)methyl isocyanate gave 5-(4-nitro-2-methoxyphenyl)oxazole (84%), which was reduced to the amine (95%). Alkylation with Et benzoylacetate and cyclization afforded the 6-(5-oxazolyl)-4(1H)-quinolinone II. Thus, I are useful as therapeutic agents for IMPDH-assocd. disorders, such as allograft rejection (no data).

ACCESSION NUMBER:

2001:798220 CAPLUS

DOCUMENT NUMBER:

135:344472

TITLE:

Preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as

inhibitors of IMPDH enzyme

INVENTOR (S):

Iwanowicz, Edwin J.; Watterson, Scott H.; Dhar, T. G.

Murali; Pitts, William J.; Gu, Henry H.

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA PCT Int. Appl., 263 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
PATENT NO.
                        KIND DATE
                                                APPLICATION NO. DATE
                        ----
                                                -----
     WO 2001081340
                         Α2
                               20011101
                                                WO 2001-US12900 20010419
     WO 2001081340
                         Α3
                               20020523
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
          W:
              RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1276739
                        A2 20030122
                                              EP 2001-928708 20010419
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2002040022
                         A1 20020404
                                               US 2001-840503
                                                                   20010423
PRIORITY APPLN. INFO.:
                                            US 2000-199420P P
                                                                   20000424
                                            WO 2001-US12900 W 20010419
OTHER SOURCE(S):
                           MARPAT 135:344472
     371252-10-7P, 2-[2,3-Dihydro-3-(methylamino)-1H-inden-5-yl]-7-
```

methoxy-6-(5-oxazolyl)-4(1H)-quinolinone hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; prepn. of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-assocd. disorders)

RN 371252-10-7 CAPLUS

CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(methylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

IT 371249-67-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH enzyme)

RN 371249-67-1 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)

IT **371249-88-6P**, 2-[2,3-Dihydro-3-(dimethylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(prepn. of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-assocd. disorders) 371249-88-6 CAPLUS

RN 371249-88-6 CAPLUS
CN 4(1H)-Quinolinone, 2-[3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 371251-99-9 CAPLUS
CN 4(1H)-Quinolinone, 2-[(3S)-3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 371249-69-3P 371249-72-8P 371249-75-1P
 371249-77-3P 371249-80-8P, 3-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]benzoic acid methyl ester
 371249-84-2P, 2-[3-(Hydroxymethyl)phenyl]-7-methoxy-6-(5-oxazolyl)-4 (1H)-quinolinone 371249-85-3P, 2-[3-(1-Hydroxy-1-

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methylethyl)phenyl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
371249-86-4P, 7-Methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-
oxazoly1)-4(1H)-quinolinone 371249-91-1P, 7-Methoxy-2-[3-(4-
methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone
trifluoroacetic acid salt 371249-93-3P, 2-(2,3-Dihydro-3-methoxy-
1H-inden-5-y1)-7-methoxy-6-(5-oxazoly1)-4(1H)-quinolinone
371249-97-7P 371249-98-8P 371249-99-9P
371250-00-9P 371250-01-0P 371250-03-2P
371250-04-3P 371250-05-4P 371250-06-5P
371250-07-6P 371250-09-8P 371250-11-2P
371250-12-3P 371250-14-5P 371250-15-6P
371250-16-7P 371250-17-8P 371250-18-9P
371250-20-3P 371250-22-5P 371250-23-6P
371250-25-8P 371250-27-0P 371250-29-2P
371250-31-6P 371250-33-8P 371250-35-0P
371250-37-2P 371250-39-4P 371250-41-8P
371250-43-0P 371250-45-2P 371250-47-4P
371250-48-5P 371250-49-6P 371250-50-9P
371250-51-0P 371250-52-1P 371250-53-2P
371250-54-3P 371250-55-4P 371250-56-5P
371250-57-6P 371250-58-7P, 7-Methoxy-2-[3-[(4-
methoxyphenyl) methoxy] phenyl] -6-(5-oxazolyl) -4(1H) -quinolinone
371250-59-8P, 2-(3-Hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)-4(1H)-
quinolinone 371250-60-1P, 2-[3-[2-(Dimethylamino)ethoxy]phenyl]-
7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone 371250-61-2P,
2-(2,3-Dihydro-2-methyl-1H-isoindol-5-yl)-7-methoxy-6-(5-oxazolyl)-4(1H)-
quinolinone 371250-62-3P 371250-63-4P
371250-64-5P 371250-65-6P 371250-66-7P
371250-67-8P 371250-68-9P 371250-69-0P
371250-70-3P 371250-71-4P 371250-72-5P
371250-73-6P 371250-74-7P 371250-75-8P
371250-76-9P 371250-77-0P 371250-78-1P
371250-79-2P 371250-80-5P 371250-81-6P
371250-82-7P 371250-83-8P 371250-84-9P
371250-85-0P 371250-86-1P 371250-87-2P
371250-88-3P 371250-89-4P 371250-90-7P
371250-91-8P 371250-92-9P 371250-93-0P
371250-94-1P 371250-95-2P 371250-96-3P
371250-97-4P 371250-98-5P 371250-99-6P
371251-00-2P 371251-01-3P 371251-02-4P
371251-03-5P 371251-04-6P 371251-05-7P
371251-06-8P 371251-12-6P, 2-[2,3-Dihydro-3-
(methylamino) -1H-inden-5-yl] -7-methoxy-6-(5-oxazolyl) -4(1H) -quinolinone
371251-13-7P, 2-[2,3-Dihydro-3-(1-pyrrolidinyl)-1H-inden-5-yl]-7-
methoxy-6-(5-oxazolyl)-4(1H)-quinolinone 371251-16-0P,
2-[2,3-Dihydro-3-(4-morpholinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-
4(1H)-quinolinone 371251-19-3P, 2-[3-(1-Azetidinyl)-2,3-dihydro-
1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
371251-21-7P, 7-Methoxy-2-[(3-methylphenyl)methyl]-6-(5-oxazolyl)-
4(1H)-quinolinone 371251-29-5P, 7-Methoxy-2-[3-[2-(4-
morpholinyl)ethoxy]phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone
371251-36-4P, 3-Hydroxy-7-methoxy-6-(5-oxazolyl)-2-phenyl-4(1H)-
quinolinone 371251-40-0P, 3-Hydroxy-7-methoxy-2-(2-methylphenyl)-
6-(5-oxazolyl)-4(1H)-quinolinone 371251-41-1P,
3-Hydroxy-7-methoxy-2-(3-methylphenyl)-6-(5-oxazolyl)-4(1H)-quinolinone
371251-42-2P, 3-Hydroxy-7-methoxy-2-(4-methylphenyl)-6-(5-
oxazolyl)-4(1H)-quinolinone 371251-43-3P, 2-(3,4-Dimethylphenyl)-
3-hydroxy-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone 371251-44-4P
  3-Hydroxy-7-methoxy-2-(4-methoxyphenyl)-6-(5-oxazolyl)-4(1H)-quinolinone
371251-45-5P, 2-(4-Chloro-3-methylphenyl)-3-hydroxy-7-methoxy-6-(5-
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oxazolyl) -4 (1H) -quinolinone 371251-47-7P, 2-(4-Chloro-3-
methylphenyl) -3-hydroxy-7-methoxy-6-(5-oxazolyl) -4(1H) -quinolinone
trifluoroacetate 371251-48-8P, 2-(2,3-Dihydro-3-methoxy-1H-inden-
5-y1) -3-hydroxy-7-methoxy-6-(5-oxazolyl) <math>-4(1H) -quinolinone
371251-50-2P, 3-Hydroxy-7-methoxy-2-[2-(methylsulfonyl)phenyl]-6-
(5-oxazolyl) -4(1H) -quinolinone 371251-51-3P,
2-[1-(Dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-
4(1H)-quinolinone 371251-53-5P, 2-(2,3-Dihydro-3-methoxy-2,2-
dimethyl-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
371251-55-7P, 2-(2,3-Dihydro-3-methoxy-1,1-dimethyl-1H-inden-5-yl)-
7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone 371251-57-9P,
trans-2-[3-(Dimethylamino)-2,3-dihydro-2-methoxy-1H-inden-5-yl]-7-methoxy-
6-(5-oxazolyl)-4(1H)-quinolinone 371251-60-4P,
trans-2-[3-(Dimethylamino)-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-7-methoxy-
6-(5-oxazolyl)-4(1H)-quinolinone 371251-61-5P,
trans-6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-
(dimethylamino) -2,3-dihydro-1H-inden-2-ol methylcarbamate
371251-62-6P, Ethylcarbamic acid trans-6-[1,4-dihydro-7-methoxy-6-
(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-
yl ester 371251-63-7P, (1-Methylethyl)carbamic acid
trans-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-
(dimethylamino) -2,3-dihydro-1H-inden-2-yl ester 371251-64-8P,
(2-Chloroethyl)carbamic acid trans-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-
4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl ester
371251-65-9P, Imidodicarbonic acid trans-6-[1,4-dihydro-7-methoxy-
6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-
2-yl methyl ester 371251-66-0P, 7-Methoxy-2-[4-methyl-3-
(phenylmethoxy) phenyl] -6-(5-oxazolyl) -4(1H) -quinolinone
371251-68-2P, 2-(3-Hydroxy-4-methylphenyl)-7-methoxy-6-(5-
oxazolyl) -4(1H) -quinolinone 371251-70-6P, 7-Methoxy-2-[3-(2-
methoxyethoxy)-4-methylphenyl]-6-(5-oxazolyl)-4(1H)-quinolinone
371251-72-8P, 7-Methoxy-2-[4-methyl-3-[(1-methyl-3-
piperidinyl)methoxy]phenyl]-6-(5-oxazolyl)-4(1H)-quinolinone
371251-73-9P 371251-74-0P 371251-75-1P
371251-76-2P 371251-77-3P 371251-78-4P
371251-79-5P 371251-80-8P 371251-81-9P
371251-82-0P, 6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-
quinolinyl]-2,3-dihydro-N,N,N-trimethyl-1H-inden-1-aminium
371251-83-1P, 2-[3-(Dimethylamino)-2,3-dihydro-1H-inden-5-y1]-3-
hydroxy-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone 371251-86-4p,
1,4-Dihydro-3-hydroxy-7-methoxy-2-(4-methylphenyl)-4-oxo-6-
quinolinecarbonitrile 371251-88-6P, 1,4-Dihydro-3-hydroxy-7-
methoxy-2-(3-methylphenyl)-4-oxo-6-quinolinecarbonitrile
371251-92-2P, 2-(2,3-Dihydro-3-hydroxy-1H-inden-5-yl)-7-methoxy-6-
(5-oxazolyl) -4(1H) -quinolinone 371251-94-4P,
2-(3,4-Dimethoxyphenyl)-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone
371251-97-7P, 2-[5-[(Dimethylamino)methyl]-3-thienyl]-7-methoxy-6-
(5-oxazoly1)-4(1H)-quinolinone 371252-06-1P 371252-09-4P
 N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-
dihydro-1H-inden-1-yl]-N-methylacetamide 371252-11-8P,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-2-methoxy-N-methylacetamide 371252-12-9P,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-N-methyl-1H-imidazol-1-acetamide 371252-13-0P,
N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-
1H-inden-1-yl]-N-methyl-4-morpholineacetamide 371252-14-1P,
1H-inden-1-yl]-N-methyl-2H-1,2,3-triazol-2-acetamide 371252-15-2P
, N-[6-[1,4-Dihydro-7-methoxy-6-(5-oxazoly1)-4-oxo-2-quinoliny1]-2,3-
dihydro-1H-inden-1-yl]-N-methyl-1H-1,2,3-triazol-1-acetamide
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371252-16-3P 371252-17-4P, Dimethylcarbamic acid 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1Hinden-1-yl ester 371252-18-5P, 2-[2,3-Dihydro-1-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-4(1H)-quinolinone 371252-19-6P, 4-Acetyl-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4oxo-2-quinolinyl]-3,4-dihydro-2H-1,4-benzoxazine 371252-21-0P, 7-Methoxy-2-[4-(4-morpholinylmethyl)phenyl]-6-(5-oxazolyl)-4(1H)quinolinone 371252-22-1P, 6-[1,4-Dihydro-7-methoxy-6-(5oxazolyl) -4-oxo-2-quinolinyl] -2,3-dihydro-N,N,N-trimethyl-1H-inden-1aminium iodide RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-assocd. disorders) RN371249-69-3 CAPLUS 4(1H)-Quinolinone, 2-(3-bromophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA CNINDEX NAME)

RN 371249-72-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-(1-pyrrolidinyl)phenyl](9CI) (CA INDEX NAME)

RN 371249-75-1 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-(4-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371249-77-3 CAPLUS

CN Benzeneacetic acid, 3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-

quinolinyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{O} \\ \text{N} \end{array}$$

RN 371249-80-8 CAPLUS

CN Benzoic acid, 3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 371249-84-2 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(hydroxymethyl)phenyl]-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371249-85-3 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(1-hydroxy-1-methylethyl)phenyl]-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371249-86-4 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371249-91-1 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 371249-86-4 CMF C24 H24 N4 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 371249-93-3 CAPLUS

CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371249-97-7 CAPLUS

CN 4(1H)-Quinolinone, 2-(3-furanyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371249-98-8 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-(3-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371249-99-9 CAPLUS

CN 4(1H)-Quinolinone, 2-(2-fluorophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-00-9 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-methyl-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-01-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-3-methyl-6-(5-oxazolyl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 371250-03-2 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(2-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 371250-04-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 371250-05-4 CAPLUS

CN Acetamide, N-[3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]phenyl]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

RN 371250-06-5 CAPLUS

CN Acetamide, 2-(acetyloxy)-N-[3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 371250-07-6 CAPLUS

CN 4-Morpholineacetamide, N-[3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
\text{MeO} & H & Me & O \\
N & N & C & CH_2 & N
\end{array}$$

RN 371250-09-8 CAPLUS

CN Benzoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 371250-11-2 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-(4-methoxyphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-12-3 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 371250-14-5 CAPLUS

CN 2-Quinolinepropanamide, N,N-diethyl-1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
\text{MeO} & H & CH_2 - CH_2 - C - NEt_2 \\
\hline
N & O & O & O \\
N & O & O & O \\
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N & O & O &$$

RN 371250-15-6 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 371250-16-7 CAPLUS

CN 4(1H)-Quinolinone, 2-(4-hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-17-8 CAPLUS

CN 4(1H)-Quinolinone, 2-(3,4-dimethylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-18-9 CAPLUS

CN Benzenebutanoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ O \\ N \end{array}$$

RN 371250-20-3 CAPLUS

CN Benzenebutanoic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

MeO
$$\stackrel{\text{H}}{\underset{\text{O}}{\bigvee}}$$
 $\stackrel{\text{(CH2)}}{\underset{\text{O}}{\longrightarrow}}$ 3 - CO₂H

RN 371250-22-5 CAPLUS

CN Benzeneacetic acid, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{O} \\ \text{O} \\ \text{O} \end{array}$$

RN 371250-23-6 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(3-thienyl)- (9CI) (CA INDEX NAME)

RN 371250-25-8 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 371250-27-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-29-2 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-(2-methylphenyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-31-6 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-(1-piperidinyl)phenyl]-(9CI) (CA INDEX NAME)

RN 371250-33-8 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-[(dimethylamino)methyl]phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \\ \text{N} \\ \end{array}$$

RN 371250-35-0 CAPLUS

CN 4(1H)-Quinolinone, 3-bromo-2-[3-[(dimethylamino)methyl]phenyl]-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-37-2 CAPLUS

CN Benzenepropanoic acid, 3-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

MeO
$$H$$
 N $CH_2-CH_2-CO_2H$

RN 371250-39-4 CAPLUS

CN 4(1H)-Quinolinone, 2-(2-hydroxyethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\stackrel{\text{MeO}}{\underset{N}{\longrightarrow}} \stackrel{\text{H}}{\underset{O}{\longrightarrow}} \text{CH}_2 - \text{CH}_2 - \text{OH}$$

RN 371250-41-8 CAPLUS

CN 4(1H)-Quinolinone, 2-[(dimethylamino)methyl]-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{O} \\ \end{array}$$

RN 371250-43-0 CAPLUS

CN 4(1H)-Quinolinone, 2-(3-hydroxypropyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

MeO
$$\stackrel{\text{H}}{\underset{\text{N}}{\longrightarrow}}$$
 (CH₂)₃-OH

RN 371250-45-2 CAPLUS

CN 4(1H)-Quinolinone, 2-(4-hydroxybutyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{MeO} \\
\text{N}
\end{array}$$

RN 371250-47-4 CAPLUS

CN 4(1H)-Quinolinone, 2-(hydroxymethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-48-5 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-(methoxymethyl)-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-49-6 CAPLUS

CN 4(1H)-Quinolinone, 2-(2-hydroxypropyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME) .

MeO
$$\stackrel{H}{N}$$
 $CH_2-CH-Me$

RN 371250-50-9 CAPLUS

CN Benzenesulfonamide, 4-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & 0 \\
 & S \\
 & S \\
 & N \\
 & O
\end{array}$$

RN 371250-51-0 CAPLUS

CN 4(1H)-Quinolinone, 2-(hydroxyphenylmethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-52-1 CAPLUS

CN 4(1H)-Quinolinone, 2-(1-hydroxyethyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-53-2 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 371250-54-3 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[(3S)-3-methyl-1-piperazinyl]phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 371250-55-4 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(4-morpholinylmethyl)phenyl]-6-(5-

oxazolyl) - (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{N} \\ \text{O} \\$$

RN 371250-56-5 CAPLUS

CN 4(1H)-Quinolinone, 2-[4-(1-hydroxy-1-methylethyl)phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-57-6 CAPLUS

CN 4(1H)-Quinolinone, 2-[4-(hydroxymethyl)phenyl]-7-methoxy-6-(5-oxazolyl)(9CI) (CA INDEX NAME)

RN 371250-58-7 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[(4-methoxyphenyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-59-8 CAPLUS

CN 4(1H)-Quinolinone, 2-(3-hydroxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-60-1 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-[2-(dimethylamino)ethoxy]phenyl]~7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \end{array}$$

RN 371250-61-2 CAPLUS

CN 4(1H)-Quinolinone, 2-(2,3-dihydro-2-methyl-1H-isoindol-5-yl)-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-62-3 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(4-thiazolyl)- (9CI) (CA INDEX NAME)

RN 371250-63-4 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[2-(1-piperidinyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)

RN 371250-64-5 CAPLUS .
CN 4(1H)-Quinolinone, 2-(3,5-dimethylphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI)
(CA INDEX NAME)

RN 371250-65-6 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(methylthio)phenyl]-6-(5-oxazolyl)(9CI) (CA INDEX NAME)

RN 371250-66-7 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(methylsulfonyl)phenyl]-6-(5-oxazolyl)(9CI) (CA INDEX NAME)

RN 371250-67-8 CAPLUS
CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[4-(2-methoxyethyl)-1-piperazinyl]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{N} \\ \end{array}$$

RN 371250-68-9 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(2,6-dimethyl-4-morpholinyl)phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-69-0 CAPLUS

CN 4(1H)-Quinolinone, 2-(3-bromo-4-methylphenyl)-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-70-3 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-[[(tetrahydro-2-furanyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)

RN 371250-71-4 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$N = 0$$

RN 371250-72-5 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-73-6 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-74-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2-methylphenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

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RN 371250-75-8 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(1-pyrrolidinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-76-9 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[(2-methoxyethyl)amino]-4-methylphenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-77-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2-methylphenyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 371250-78-1 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-[(3R)-3-(dimethylamino)-1-pyrrolidinyl]-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{MeO} \\ \text{N} \\$$

RN 371250-79-2 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-80-5 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(4-thiomorpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-81-6 CAPLUS

CN 4(1H)-Quinolinone, 2-(3-bromo-4-methoxyphenyl)-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-82-7 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(1,1-dioxido-4-thiomorpholinyl)-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-83-8 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-(4-morpholinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-84-9 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 371250-85-0 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(1-azetidinyl)-4-methoxyphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-86-1 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-87-2 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[[2-(methylamino)ethyl]amino]phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-88-3 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-[(2R)-2-(dimethylamino)-1-pyrrolidinyl]-4-methoxyphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 371250-89-4 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[(2-methoxyethyl)amino]phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371250-90-7 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methoxy-3-[[2-(4-morpholinyl)ethyl]amino]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-91-8 CAPLUS

CN Benzonitrile, 5-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2-methyl-(9CI) (CA INDEX NAME)

RN 371250-92-9 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(5,6,7,8-tetrahydro-8-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 371250-93-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(5,6,7,8-tetrahydro-8-hydroxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 371250-94-1 CAPLUS

CN Carbamic acid, dimethyl-, 7-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1,2,3,4-tetrahydro-1-naphthalenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{H} & \text{H} \\ \text{O} & \text{O} & \text{C-NMe}_2 \\ \end{array}$$

RN 371250-95-2 CAPLUS

CN 4(1H)-Quinolinone, 2-[8-(dimethylamino)-5,6,7,8-tetrahydro-2-naphthalenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\bigvee_{N}^{\text{MeO}}\bigvee_{N}^{\text{H}}\bigvee_{N}^{\text{H}}\bigvee_{N}^{\text{NMe}_{2}}$$

RN 371250-96-3 CAPLUS

CN 4(1H)-Quinolinone, 2-ethyl-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371250-97-4 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[3-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 371250-98-5 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 371250-99-6 CAPLUS

CN 4(1H)-Quinolinone, 2-[4-(dimethylamino)-3,4-dihydro-2H-1-benzopyran-6-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-00-2 CAPLUS

CN 4(1H)-Quinolinone, 2-cyclohexyl-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-01-3 CAPLUS

CN 4(1H)-Quinolinone, 2-[3,4-dihydro-4-(1-pyrrolidinyl)-2H-1-benzopyran-6-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-02-4 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-6-(5-oxazolyl)-2-(1-phenylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 371251-03-5 CAPLUS

CN 4(1H)-Quinolinone, 2-(4-bromophenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-04-6 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-methyl-1-piperazinyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-05-7 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-morpholinyl)phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-06-8 CAPLUS

CN Carbamic acid, [6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{H} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \end{array}$$

RN 371251-12-6 CAPLUS

CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(methylamino)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-13-7 CAPLUS

CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-16-0 CAPLUS

CN 4(1H)-Quinolinone, 2-[2,3-dihydro-3-(4-morpholinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-19-3 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(1-azetidinyl)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-21-7 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[(3-methylphenyl)methyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-29-5 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-[2-(4-morpholinyl)ethoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{MeO} \\
\text{N}
\end{array}$$

RN 371251-36-4 CAPLUS

CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-6-(5-oxazoly1)-2-phenyl- (9CI) (CA INDEX NAME)

RN 371251-40-0 CAPLUS

CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(2-methylphenyl)-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-41-1 CAPLUS

CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(3-methylphenyl)-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-42-2 CAPLUS

CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(4-methylphenyl)-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-43-3 CAPLUS

CN 4(1H)-Quinolinone, 2-(3,4-dimethylphenyl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-44-4 CAPLUS

CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-(4-methoxyphenyl)-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-45-5 CAPLUS

CN 4(1H)-Quinolinone, 2-(4-chloro-3-methylphenyl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-47-7 CAPLUS

CN 4(1H)-Quinolinone, 2-(4-chloro-3-methylphenyl)-3-hydroxy-7-methoxy-6-(5-

oxazolyl)-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 371251-45-5 CMF C20 H15 Cl N2 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 371251-48-8 CAPLUS

CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-1H-inden-5-yl)-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-50-2 CAPLUS

CN 4(1H)-Quinolinone, 3-hydroxy-7-methoxy-2-[2-(methylsulfonyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-51-3 CAPLUS

CN 4(1H)-Quinolinone, 2-[1-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-53-5 CAPLUS

CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-2,2-dimethyl-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-55-7 CAPLUS

CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-methoxy-1,1-dimethyl-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-57-9 CAPLUS

CN 4(1H)-Quinolinone, 2-[(2R,3R)-3-(dimethylamino)-2,3-dihydro-2-methoxy-1H-

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inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 371251-60-4 CAPLUS

CN 4(1H)-Quinolinone, 2-[(2R,3R)-3-(dimethylamino)-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 371251-61-5 CAPLUS

CN 4(1H)-Quinolinone, 2-[(2R,3R)-3-(dimethylamino)-2,3-dihydro-2-[[(methylamino)carbonyl]oxy]-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 371251-62-6 CAPLUS

CN Carbamic acid, ethyl-, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl ester, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

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RN 371251-63-7 CAPLUS

CN Carbamic acid, (1-methylethyl)-, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-ylester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 371251-64-8 CAPLUS

CN Carbamic acid, (2-chloroethyl)-, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-ylester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 371251-65-9 CAPLUS

CN Imidodicarbonic acid, (1R,2R)-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-1-(dimethylamino)-2,3-dihydro-1H-inden-2-yl methyl ester, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 371251-66-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-(phenylmethoxy)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-68-2 CAPLUS

CN 4(1H)-Quinolinone, 2-(3-hydroxy-4-methylphenyl)-7-methoxy-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 371251-70-6 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[3-(2-methoxyethoxy)-4-methylphenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\text{-CH}_2\text{-O} \\ \text{MeO} \\ \text{N} \end{array}$$

RN 371251-72-8 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(1-methyl-3-piperidinyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-73-9 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[2-(4-morpholinyl)ethoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{MeO} \\
\text{N}
\end{array}$$

RN 371251-74-0 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-[2-(1H-imidazol-1-yl)ethoxy]-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{O} \\ \text{N} \\ \end{array}$$

RN 371251-75-1 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-[2-(dimethylamino)ethoxy]-4-methylphenyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2\text{-O} \\ \text{Me} \\ \text{N} \\ \text{O} \\ \text{N} \end{array}$$

RN 371251-76-2 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(tetrahydro-3-furanyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-77-3 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(1-methyl-4-piperidinyl)oxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-78-4 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 371251-79-5 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-80-8 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[2-(2-pyridinyl)ethoxy]phenyl]-6-(5-oxazolyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{H} & \text{Me} \\ \text{O} & \text{O} & \text{CH}_2 - \text{CH}_2 \\ \\ \text{N} & \text{O} \end{array}$$

RN 371251-81-9 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-methyl-3-[(tetrahydro-2-furanyl)methoxy]phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} MeO & H & Me \\ \hline 0 & O & CH_2 & O \\ \hline \end{array}$$

RN 371251-82-0 CAPLUS

CN 1H-Inden-1-aminium, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

RN 371251-83-1 CAPLUS

CN 4(1H)-Quinolinone, 2-[3-(dimethylamino)-2,3-dihydro-1H-inden-5-yl]-3-hydroxy-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-86-4 CAPLUS

CN 6-Quinolinecarbonitrile, 1,4-dihydro-3-hydroxy-7-methoxy-2-(4-methylphenyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 371251-88-6 CAPLUS

CN 6-Quinolinecarbonitrile, 1,4-dihydro-3-hydroxy-7-methoxy-2-(3-methylphenyl)-4-oxo- (9CI) (CA INDEX NAME)

RN 371251-92-2 CAPLUS

CN 4(1H)-Quinolinone, 2-(2,3-dihydro-3-hydroxy-1H-inden-5-yl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-94-4 CAPLUS

CN 4(1H)-Quinolinone, 2-(3,4-dimethoxyphenyl)-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371251-97-7 CAPLUS

CN 4(1H)-Quinolinone, 2-[5-[(dimethylamino)methyl]-3-thienyl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c}
\text{MeO} \\
\text{N}
\end{array}$$

$$\begin{array}{c}
\text{H} \\
\text{N}
\end{array}$$

$$\begin{array}{c}
\text{CH}_2 - \text{NMe}_2
\end{array}$$

RN 371252-06-1 CAPLUS

CN Carbamic acid, [6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 371252-09-4 CAPLUS

CN Acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl-(9CI) (CA INDEX NAME)

RN 371252-11-8 CAPLUS

CN Acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-2-methoxy-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \text{H} \\ \text{N} \\ \text{N} \\ \text{O} \\ \text{N} \end{array}$$

RN 371252-12-9 CAPLUS

CN 1H-Imidazole-1-acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazoly1)-4-oxo-2-quinoliny1]-2,3-dihydro-1H-inden-1-y1]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
MeO & MeO \\
N & N & N
\end{array}$$

RN 371252-13-0 CAPLUS

CN 4-Morpholineacetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} MeO & MeO \\ \hline N & N-C-CH_2-N \\ \hline \end{array}$$

RN 371252-14-1 CAPLUS

CN 2H-1,2,3-Triazole-2-acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 371252-15-2 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-[6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 371252-16-3 CAPLUS

CN Carbamic acid, [6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 371252-17-4 CAPLUS

CN Carbamic acid, dimethyl-, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-1H-inden-1-yl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
MeO & H & O \\
O & C-NMe_2
\end{array}$$

RN 371252-18-5 CAPLUS

CN 4(1H)-Quinolinone, 2-[2,3-dihydro-1-(1-pyrrolidinyl)-1H-inden-5-yl]-7-methoxy-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371252-19-6 CAPLUS

CN 2H-1,4-Benzoxazine, 4-acetyl-6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

RN 371252-21-0 CAPLUS

CN 4(1H)-Quinolinone, 7-methoxy-2-[4-(4-morpholinylmethyl)phenyl]-6-(5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 371252-22-1 CAPLUS

CN 1H-Inden-1-aminium, 6-[1,4-dihydro-7-methoxy-6-(5-oxazolyl)-4-oxo-2-quinolinyl]-2,3-dihydro-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

• I -

L8 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2003 ACS GI

$$(R^1)_n \xrightarrow{R^4}_{N} R^2$$

$$R^3 \qquad \text{Me}_2CH \xrightarrow{N}_{N} Ph$$

$$0 \qquad II$$

AB Title compds. I [R1 = alkyl, cycloalkyl, Ph, alkoxy, halo, NO2, NH2, (un) substituted heterocyclyl, etc.; n = 1, 2, 3; R2 = alkyl, (un) substituted Ph, heterocyclyl, etc.; R3 = H, alkyl, Ph, alkoxy, CN, etc.; R2R3 = fused ring system; R4 = alkyl, alkenyl, benzyl (un) substituted phenyl] were prepd. as antiviral agents. Thus, II (R4 = H) was prend in 81% yield by reaction of 4-isopropylaniline with Et 2-benzoylpropionate in EtOH contg. polyphosphoric acid at 160.degree., and subsequent ethylation by EtI in the presence of K2CO3 in DMF gave II (R4 = Et). I were tested against picornaviruses, rhinoviruses, and rotaviruses.

ACCESSION NUMBER: 2001:167663 CAPLUS

DOCUMENT NUMBER: 134:207726

TITLE: 1,2-Disubstituted 1,4-dihydro-4-oxoquinoline compounds

and their antiviral activity

INVENTOR(S): Tamura, Takashi; Kuriyama, Haruo; Agoh, Masanobu;

Agoh, Yumi; Soga, Manabu; Mori, Teruyo Maruishi Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S): Eur. Pat. Appl., 64 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND		DATE			APPLICATION NO.					DATE				
									-									
EP	2 1081138			A1		20010307		_	E	:P:	2000-118673			3	20000829			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	G	R,	ΙT,	LI,	LU	, NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO											
JP	2001	0642	59	A:	2	2001	0313		J	P :	199	9-2	4270	0	1999	0830		
JP	2001	0642	61	A:	2	2001	0313		J	P :	199	9-2	4270	1	1999	0830		
JP	3259	089		B:	2	2002	0218											
JP	2001	0894	55	A:	2	2001	0403		J	P :	199	9-2	6288	13	1999	0917		
JP	2001	0894	76	A:	2	2001	0403		J	P:	199	9-2	6288	4	1999	0917		
US	6541	470		B	1	2003	0401		Ü	IS :	200	0-6	4959	6	2000	0829		
PRIORIT	Y APF	LN.	INFO	. :					JP 1	.99	9-2	427	00	A	1999	0830		_
									JP 1	99	9-2	427	01	Α	1999	0830		
									JP 1	99	9-2	628	83	Α	1999	0917		
									JP 1	.99	9-2	628	84	Α	1999	0917		

OTHER SOURCE(S): MARPAT 134:207726

328398-76-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(1,2-disubstituted 1,4-dihydro-4-oxoquinolines as antiviral agents)

RN 328398-76-1 CAPLUS

4(1H)-Quinolinone, 1-methyl-2-phenyl-6-(3-pyridinyl)- (9CI) CN

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

06/02/2003

09840503.trn

ANSWER 4 OF 11 CAPLUS COPYRIGHT 2003 ACS L8

For diagram(s), see printed CA Issue. GT

Quinolinyl ketones I [A = II, III; X = NH, O, S; B = (substituted) arom.; AB · R2 = H, halo, lower (halo)alkyl, (hetero atom-contg.) cycloalkyl, (substituted) aryl, etc.; R3 = H, halo, lower (halo)alkyl, (hetero atom-contg.) cycloalkyl, alkoxyalkyl, (substituted) aryl, etc.; R2 and R3 may form (N-, O-, or S-contg.) (substituted) ring.; R1 = CR4R5R6; R4, R5 = H, lower (halo)alkyl, (hetero atom-contg.) cycloalkyl, lower (halo)alkyloxy, etc.; R4 and R5 may form (N-, O-, or S-contg.) (substituted) ring.; R6 = H, lower (halo)alkyl, (hetero atom-contg.) cycloalkyl, (substituted) (hetero)aryl, etc.] and IV (A, B, X, R2, R3 = same as I) or their pharmaceutically acceptable salts, useful as inhibitors of interleukin-1 prodn., are prepd. 7-Ethyl-4-methoxymethoxy-3,5,8-trimethoxy-2-quinolinecarboxaldehyde (prepn. given) was condensed with MeCOCMe (OH) Me in MeOH in the presence of LiOH. H2O at 50-60. degree. for 1 h and deprotected with HCl in AcOEt at room temp. for 10 min to give 71% I (A = 1,4-dihydro-7-ethyl-4-oxo-3,5,8-trimethoxyquinolinyl, R1 = CMe2OH) (V). V in vitro showed IC50 of 1.08 and 0.92 .mu.M against IL-.alpha. and IL-.beta. resp.

1997:765311 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 128:88793

Preparation of .alpha.,.beta.-unsaturated quinolinyl TITLE:

> ketones as inhibitors of interleukin-1 production Tanaka, Masayuki; Okita, Makoto; Miyamoto, Mitsuaki; Kaneko, Toshihiko; Kawahara, Tetsuya; Akamatsu, Keiji;

Chiba, Kenichi; Obaishi, Hiroshi; Sakurai, Hideki; Abe, Shinya; Kobayashi, Kiyokazu; Yamanaka, Teiji

PATENT ASSIGNEE(S):

Eisai Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 116 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

INVENTOR(S):

PATENT NO. KIND DATE APPLICATION NO. DATE JP 09309879 A2 19971202 JP 1996-148569 19960520 JP 1996-148569 PRIORITY APPLN. INFO.: 19960520

OTHER SOURCE(S): MARPAT 128:88793

185206-37-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolinyl ketones as inhibitors of interleukin-1 prodn.)

RN 185206-37-5 CAPLUS

6-Quinolinecarbonitrile, 1,4-dihydro-3-methyl-4-oxo-2-(3-oxo-1-butenyl)-CN(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & CH \longrightarrow CH-C-Me \\ \hline \\ NC & Me \\ \end{array}$$

L8 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2003 ACS GI

AB Trifluoroacetamido derivs. I (Q = O; R = Br, I; X = CN, CO2Et, NO2) react with phosphorane Ph3P:CHCO2Et in boiling toluene to give the corresponding enamines I (Q = CHCO2Et; same R, X), which are precursors of the trifluoromethylated indoles II and quinolones III.

ACCESSION NUMBER: 1997:520074 CAPLUS

DOCUMENT NUMBER: 127:205460

TITLE: Synthesis of indoles and quinolones by sequential

Wittig and Heck reactions

AUTHOR(S): Latham, Elliot J.; Stanforth, Stephen P.

CORPORATE SOURCE: Department of Chemical and Life Sciences, University

of Northumbria at Newcastle, Newcastle upon Tyne, NE1

8ST, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1997), (14),

2059-2063

CODEN: JCPRB4; ISSN: 0300-922X

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:205460

IT 183989-99-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of indoles and quinolones by sequential Wittig and Heck

reactions)

RN 183989-99-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-cyano-1,4-dihydro-4-oxo-2-(trifluoromethyl)-

, ethyl ester (9CI) (CA INDEX NAME)

06/02/2003 09840503.trn

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ANSWER 6 OF 11 CAPLUS COPYRIGHT 2003 ACS
Г8
    For diagram(s), see printed CA Issue.
GI
     .alpha.,.beta.-Unsatd. ketone derivs. represented by general formula
AB
    RCH:CHCOR1 [R = Q, Q1; wherein Z = NH, O, S; ring B = an optionally
     substituted arom. ring; R2 = H, halo, optionally halogenated lower alkyl,
     etc.; R3 = H, optionally halogenated lower alkyl, cycloalkyl optionally
    having heteroatom(s), alkoxyalkyl, optionally substituted aryl, optionally
     substituted heteroaryl, etc.; R1 = CR4R5R6; wherein R4, R5 = H, optionally
    halogenated lower alkyl, etc.; R6 = H, optionally halogenated lower alkyl,
     cycloalkyl optionally having heteroatom(s), optionally substituted aryl,
     optionally substituted heteroaryl, etc.] or pharmacol. acceptable salts
     thereof, which are useful for the prevention and treatment of interleukin
     1 prodn.-related diseases, e.g. inflammation, are prepd. Thus, 1.68 g
     7-ethyl-4-methoxymethoxy-3,5,8-trimethoxy-2-quinolinecarboxaldehyde and
     1.0 q 3-hydroxy-3-methyl-2-butanone were dissolved in MeOH, treated with
     0.21 q LiOH.H2O and heated at 50-60.degree. for 1 h to give, after
     treatment of the product with 1 N ag. HCl in EtOAc, the title
    quinolinylbutenone deriv. (I; R7 = R10 = OMe, R8 = H, R9 = Et, R11 =
    CMe2OH). The latter compd. and I (R7 = R9 = R10 = H, R8 = C1, R2 = R11 =
    Me) showed IC50 of 1.08 and <0.1 nM, resp., for inhibiting the prodn. of
     interleukin 1.alpha. in human peripheral monocyte and 0.92 and <0.1 nM,
    resp., for inhibiting the prodn. of interleukin 1.beta. in human
    peripheral monocyte.
ACCESSION NUMBER:
                        1997:41948 CAPLUS
DOCUMENT NUMBER:
                        126:59875
TITLE:
                        Preparation of beta-heterocyclyl-alpha,
                        beta-unsaturated ketone derivatives as inhibitors of
                        interleukin 1 production
INVENTOR(S):
                        Tanaka, Masayuki; Okita, Makoto; Miyamoto, Mitsuaki;
                        Kaneko, Toshihiko; Kawahara, Tetsuya; Akamatsu,
                        Keishi; Chiba, Kenichi; Obaishi, Hiroshi; Sakurai,
                        Hideki; Abe, Shinya; Kobayashi, Seiichi; Yamanaka,
                        Takashi
                        Eisai Co., Ltd., Japan; Tanaka, Masayuki; Okita,
PATENT ASSIGNEE(S):
                        Makoto; Miyamoto, Mitsuaki; Kaneko, Toshihiko;
                        Kawahara, Tetsuya; Akamatsu, Keishi; Chiba, Kenichi;
                        Obaishi, Hiroshi; et al.
                        PCT Int. Appl., 254 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                           -----
     _____
                    ____
                                          -----
    WO 9636608
                                          WO 1996-JP1330 19960520
                      A1
                           19961121
        W: CA, US
        RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                        JP 1995-142394 19950518
    JP 08311032
                     A2 19961126
PRIORITY APPLN. INFO.:
                                       JP 1995-142394
                                                           19950518
OTHER SOURCE(S):
                        MARPAT 126:59875
    185204-09-5P 185206-37-5P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of .beta.-heterocyclyl-.alpha., .beta.-unsatd. ketone derivs.
        as inhibitors of interleukin 1 prodn.)
RN
    185204-09-5 CAPLUS
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6-Quinolinecarbonitrile, 1,4-dihydro-2-(4-hydroxy-4-methyl-3-oxo-1-

CN

pentenyl)-3-methyl-4-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & O & OH \\ & \parallel & \parallel \\ & \parallel & \parallel \\ & \parallel & \parallel \\ & He \\ & NC \\ & Me \\ & O \\ & & Me \\ & &$$

$$\begin{array}{c|c} H & CH \longrightarrow CH-C-Me \\ \hline \\ NC & Me \\ \hline \\ O & \\ \end{array}$$

L8 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2003 ACS GI

III

CO2Et II

CO2Et

CO₂Et IV

N-trifluoroacetylanilines I (R = Br, iodo, X = cyano, CO2Et, NO2) undergo AB a Wittig reaction with phosphorane Ph2P:CHCO2Et giving enamine derivs. II which are precursors to indoles III and quinolines IV.

ACCESSION NUMBER:

1996:633861 CAPLUS

DOCUMENT NUMBER:

126:18764

TITLE:

Synthesis of indoles and quinolones by sequential

Wittig and Heck reactions

AUTHOR (S):

Latham, Elliot J.; Stanforth, Stephen P.

CORPORATE SOURCE:

Dep. Chem., Life Science, Univ. Northumbria Newcastle,

Newcastle upon Tyne, NE1 8ST, UK

SOURCE:

Chemical Communications (Cambridge) (1996), (19),

2253-2254

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: DOCUMENT TYPE: Royal Society of Chemistry

Journal

LANGUAGE:

English

IT183989-99-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of indoles and quinolines by Wittig-Heck reaction of

(trifluoroacetyl) anilines)

RN183989-99-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 6-cyano-1,4-dihydro-4-oxo-2-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)

OEt

same as previous

$$\begin{array}{c|c} \text{Et} & \text{N} & \text{N} = \text{N} \\ & \text{HN} & \text{N} \\ & \text{OCH}_2 & & \\ & & \text{I} \end{array}$$

AB A novel series of title compds. was prepd. When evaluated in an in vitro binding assay using a guinea pig adrenal membrane prepn., compds. in this series generally gave ED50 values in the range 0.01-1 .mu.M.

Structure-activity studies showed the quinoline N atom and a short alkyl chain at the quinoline 2-position to be essential for receptor binding. At 1-10 mg/kg in AII-infused, normotensive rats, the title compd. I exhibited a dose-related inhibition of the pressor response with a good duration of action at the higher doses. In a renal hypertensive rat model, I showed a rapid and sustained lowering of blood pressure at a dose of 5 mg/kg.

ACCESSION NUMBER:

1992:612398 CAPLUS

DOCUMENT NUMBER:

117:212398

TITLE:

AUTHOR (S):

New nonpeptide angiotensin II receptor antagonists.

2. Synthesis, biological properties, and

structure-activity relationships of

2-alkyl-4-(biphenylylmethoxy) quinoline derivatives Bradbury, Robert H.; Allott, Christopher P.; Dennis,

Michael; Fisher, Eric; Major, John S.; Masek, Brian B.; Oldham, Alec A.; Pearce, Robert J.; Rankine, Neil;

et al.

CORPORATE SOURCE:

Dep. Chem., ICI Pharm., Macclesfield/Cheshire, SK10

4TG, UK

SOURCE:

Journal of Medicinal Chemistry (1992), 35(22), 4027-38

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

LANGUAGE:

Journal English

IT 135016-07-8P

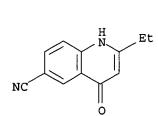
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and enol alkylation of)

RN 135016-07-8 CAPLUS

CN 6-Quinolinecarbonitrile, 2-ethyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

H
N
Et



ANSWER 9 OF 11 CAPLUS COPYRIGHT 2003 ACS L8

GΙ

$$R^2$$
 CH_2NR^3
 CH_2NR^3
 CO_2H
 $CH_2CH_2CO_2H$
 R^3HN
 $CH_2CH_2CO_2H$
 $CH_2CH_2CO_2H$

Modifications to the bicyclic ring system of the potent thymidylate AB synthase (TS) inhibitor N-[4-[N-[(2-amino-3,4-dihydro-4-oxo-6quinazolinyl)methyl]-N-prop-2-ynylamino]benzoyl]-L-glutamic acid (CB3717) have led to the synthesis of a series of quinoline antifolates, e.g., I (R1 = Me, CH2OH, CF3; R2 = H, MeO, Me, cyano, C1; R3 = HC.tplbond.CCH2, H, Et; R4 = H, F), bearing a variety of substituents at the C2 and C4 positions. In general the synthetic route involved the coupling of the appropriate di-Et N-[4-(prop-2-ynylamino)benzoyl]-L-glutamate II with a disubstituted 6-(bromomethyl)quinoline III followed by deprotection using mild alkali. The compds. were tested as inhibitors of partially purified L1210 TS. As a measure of cytotoxicity, the compds. were tested for their inhibition of the growth of L1210 cells in culture. Good enzyme inhibition and cytotoxicity were found for compds. contg. chloro, amino, or Me substituents at the C2 position with chloro or bromo substituents at C4. The effect on enzyme inhibition of varying the N10 substituent of I (R1 = Me, R2 = Cl, R3 = HC.tplbond.CCH2, R4 = H) was similar to that obsd. in the quinazolinone-contg. antifolates, indicating that the quinoline compds. may be interacting with the enzyme in a similar way to the quinazolinones. Also, the introduction of a 2'-fluoro substituent into the benzoyl ring of several of the quinoline antifolates, e.g., I (R1 = C1, R2 = C1, R3 = HC.tplbond.CCH2, R4 = F), led to a increase in both TS inhibition and the inhibition of L1210 cell growth. These data demonstrate that the N3-H of the pyrimidine ring of the quinazolinone antifolates is not required for binding to TS if appropriate substituents are placed at the C2 and C4 positions of the bicyclic ring system.

1992:469707 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 117:69707

TITLE: Quinoline antifolate thymidylate synthase inhibitors:

variation of the C2- and C4-substituents

AUTHOR (S): Warner, Peter; Barker, Andrew J.; Jackman, Ann L.;

Burrows, Kenneth D.; Roberts, Neal; Bishop, Joel A.

M.; O'Connor, Brigid M.; Hughes, Leslie R.

CORPORATE SOURCE:

Dep. Chem., ICI Pharm., Macclesfield/Cheshire, SK10

4TG, UK

SOURCE: Journal of Medicinal Chemistry (1992), 35(15), 2761-8

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal LANGUAGE: English

IT 123638-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(redn. of)

RN 123638-03-9 CAPLUS

CN 6-Quinolinecarbonitrile, 1,4-dihydro-2-methyl-4-oxo- (9CI) (CA INDEX NAME)

intermediate

L8 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2003 ACS

GI

$$\mathbb{R}^3$$
 \mathbb{R}^3 \mathbb{R}^1 \mathbb{R}^2 \mathbb{R}^2 \mathbb{R}^5 \mathbb{R}^5 \mathbb{R}^5 \mathbb{R}^5

Title compds. I (R1 = H, alkyl, cycloalkyl, Ph, substituted alkyl; R2 = H, AB alkyl, cycloalkyl, HO2C, NC, O2N, Ph, phenylalkyl; R3, R4 = H, alkyl, alkoxy, fluoroalkoxy, halo, HO, F3C, NC, O2N, H2O, etc. R3R4 = C1-4 alkylenedioxy attached to adjacent C; R, R5 = H, alkyl, alkoxy, halo, F3C, NC, O2N; X = substituted C6H4, bond; Z = 1 - tetrazol - 5 - yl, etc.) or salts thereof, useful for treatment of hypertension and congestive heart failure, are prepd. 2-Methyl-4-(2-(2-triphenylmethyl-2H-tetrazol-5yl)biphenyl-4-yl)methoxy]quinoline (prepn. from 2-methyl-4-quinolone and the corresponding bromomethylbiphenyl given), dioxane. HCl and H2O were kept for 72 h to give title compd. II.HCl (III). In tests for antagonizing angiotensin II in vitro and in vivo, III showed IC50 1.7 .times. 1--8M, pA2 8.95, and ED50 of 0.5 mg/kg, i.v. In addn. I demonstrated a significant redn. in blood pressure at 50 mg/kg or less, without any overt toxicol. or other unsatd. pharmacol. effects. A large no. of I and intermediates were prepd. Pharmaceutical formulations comprising I are given.

ACCESSION NUMBER: 1991:471607 CAPLUS

DOCUMENT NUMBER: 115:71607

TITLE: Preparation of arylmethoxyguinolines

(tetrazolylbiphenylylmethoxyquinolines) as

cardiovascular agents.

INVENTOR (S): Roberts, David Anthony; Russell, Simon Thomas; Pearce,

Robert James

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK

SOURCE: Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. _____ ---------EP 412848 A 2 19910213 EP 1990-308855 19900810 06/02/2003 09840503.trn

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EP 412848
                       A3
                             19910410
     EP 412848
                       В1
                             19950118
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PRIORITY APPLN. INFO.:
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                                                          A 19890811
                                         GB 1990-3187
                                                          A 19900213
                                         US 1990-565764
                                                          B1 19900810
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OTHER SOURCE(S): MARPAT 115:71607

IT 123638-03-9P 135016-07-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of cardiovascular agent)

RN 123638-03-9 CAPLUS

CN 6-Quinolinecarbonitrile, 1,4-dihydro-2-methyl-4-oxo- (9CI) (CA INDEX NAME)

intermediates

RN 135016-07-8 CAPLUS

CN 6-Quinolinecarbonitrile, 2-ethyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

IT 135016-07-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in prepn. of arylmethoxyquinoline cardiovascular agent)

RN 135016-07-8 CAPLUS

CN 6-Quinolinecarbonitrile, 2-ethyl-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in prepn. of cardiovascular agent

L8 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2003 ACS

GI For diagram(s), see printed CA Issue.

Title compds. I [R1, R2 = H, halo, OH, cyano, carbamoyl, NO2, NH2, alkyl, etc.; both R1, R2 .noteq. H; R3 = H, (substituted) alkyl; R4 = H, alkyl, alkenyl, alkynyl; Z = C6H4, naphthalene, heterocyclylene; the above groups may be substituted; R5NH = amino acid residue; X = halo, OH, cyano, alkyl, etc.; n = 0-2], useful as antitumor agents (no data), are prepd. from II (Y = leaving group, NR4ZCO2H). A mixt. of II (R1 = Me; R2 = Cl; R3 = Xn = H; Y = Br) (prepn. given), di-Et N-[2-fluoro-4-(prop-2-ynyl)aminobenzoyl]-L-glutamate, 2,6-lutidine, and DMF was heated at 70.degree., followed by sapon. of the resultant ester and acidification to give

N-[4-[N-(4-chloro-2-methylquinolin-6-ylmethyl)-N-(prop-2-ynyl)amino]-2-fluorobenzoyl]-L-glutamic acid.

ACCESSION NUMBER: 1989:614940 CAPLUS

DOCUMENT NUMBER: 111:214940

TITLE: N-(quinolinylmethylaminoarylcarbonyl)amino acids as

antitumor agents

INVENTOR(S): Burrows, Kenneth David; Hughes, Leslie Richard;

Warner, Peter

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; National

Research and Development Corp.

SOURCE: Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 318225	A2	19890531	EP 1988-310972	19881121
EP 318225	A3	19901205		
EP 318225	B1	19940316		
R: AT,	BE, CH, DE	, ES, FR,	GB, GR, IT, LI, LU, NL	, SE
JP 01258664	A2	19891016	JP 1988-296396	19881125
US 5112837	A	19920512	US 1990-584489	19900917
PRIORITY APPLN.	INFO.:		GB 1987-27737	19871126
			US 1988-271271	19881115

OTHER SOURCE(S): MARPAT 111:214940

IT 123638-03-9

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in prepn. of antitumor agents)

RN 123638-03-9 CAPLUS

CN 6-Quinolinecarbonitrile, 1,4-dihydro-2-methyl-4-oxo- (9CI) (CA INDEX NAME)

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L4 STRUCTURE UPLOADED

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L6 1 S L4

L7 200 S L4 FUL SUB=L2

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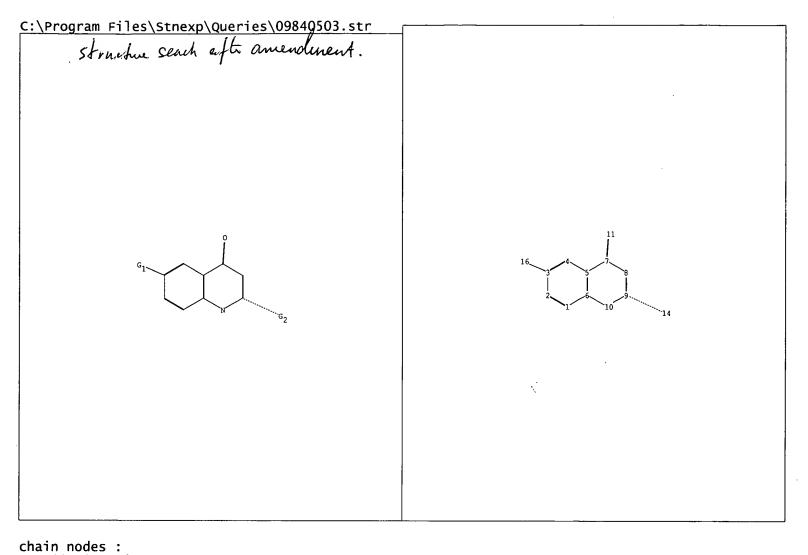
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exact/norm bonds :
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G2:C,Cy
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normalized bonds:
1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems:
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G1:CN, [*1]
G2:C,Cy
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ring nodes:
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chain bonds :